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Date: 2/27/2006
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Inventor Information for 10/019786

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[Appln Info](#)[Contents](#)[Petition Info](#)[Atty/Agent Info](#)[Continuity Data](#)[Foreign Data](#)[Inventor](#)Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | [Home page](#)

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(FILE 'HOME' ENTERED AT 12:14:14 ON 27 FEB 2006)

INDEX '1MOBILITY, 2MOBILITY, ABI-INFORM, ADISCTI, AEROSPACE, AGRICOLA, ALUMINIUM, ANABSTR, ANTE, APOLLIT, AQUALINE, AQUASCI, AQUIRE, BABS, BIBLIODATA, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, BLLDB, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CEABA-VTB, ...' ENTERED AT 12:14:59 ON 27 FEB 2006

SEA (LACTIC ADJ ACID) (W) POLYMER?

L1 QUE PLU=ON (LACTIC ADJ ACID) (W) POLYMER?

SEA DRANK

4 FILE 1MOBILITY
544 FILE ABI-INFORM
86 FILE ADISCTI
30 FILE AEROSPACE
216 FILE AGRICOLA
2 FILE ALUMINIUM
8 FILE ANABSTR
24 FILE AQUALINE
32 FILE AQUASCI
26 FILE BABS
2 FILE BIBLIODATA
111 FILE BIOENG
3112 FILE BIOSIS
2 FILE BIOTECHABS
D RANK

FILE 'REGISTRY' ENTERED AT 12:18:39 ON 27 FEB 2006

E LACTIC ACID POLYMER/CN

L2 9 SEA PLU=ON ("LACTIC ACID POLYMER"/CN OR "LACTIC ACID POLYMER LAURATE CALCIUM SALT"/CN OR "LACTIC ACID POLYMER LAURATE SODIUM SALT"/CN OR "LACTIC ACID POLYMER MONOPOTASSIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER POTASSIUM SALT"/CN OR "LACTIC ACID POLYMER POTASSIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER SODIUM SALT"/CN OR "LACTIC ACID POLYMER SODIUM SALT, SRU"/CN OR "LACTIC ACID POLYMER, SRU"/CN OR "LACTIC ACID POLYMERIC SYNTHETIC FIBERS"/CN)

E HYDROXYNAPHTHOIC ACID/CN

L3 1 SEA PLU=ON "HYDROXYNAPHTHOIC ACID"/CN
L4 0 SEA PLU=ON HYDROXY NAPHTHOIC ACID/CN
L5 0 SEA PLU=ON HYDROXY!NAPHTHOIC ACID/CN
L6 1 SEA PLU=ON 1-HYDROXY-2-NAPHTHOIC ACID/CN
L7 0 SEA PLU=ON -HYDROXY-!-NAPHTHOIC ACID/CN
L8 0 SEA PLU=ON HYDROXY-!-NAPHTHOIC ACID/CN
L9 1 SEA PLU=ON 3-HYDROXY-2-NAPHTHOIC ACID/CN
D QUE L1
D QUE L2

FILE 'CASREACT' ENTERED AT 12:23:01 ON 27 FEB 2006

L10 4 SEA PLU=ON L2

FILE 'REGISTRY' ENTERED AT 12:23:07 ON 27 FEB 2006

L11 SEL PLU=ON L2 1- CHEM : 204 TERMS

FILE 'CASREACT' ENTERED AT 12:23:10 ON 27 FEB 2006

FILE 'HCAPLUS' ENTERED AT 12:28:09 ON 27 FEB 2006

L12 50645 SEA PLU=ON L11

FILE 'REGISTRY' ENTERED AT 12:31:58 ON 27 FEB 2006

L13 SEL PLU=ON L3 1- CHEM : 2 TERMS

FILE 'HCAPLUS' ENTERED AT 12:31:58 ON 27 FEB 2006

FILE 'REGISTRY' ENTERED AT 12:31:58 ON 27 FEB 2006

L14 SEL PLU=ON L6 1- CHEM : 6 TERMS

FILE 'HCAPLUS' ENTERED AT 12:31:59 ON 27 FEB 2006

FILE 'REGISTRY' ENTERED AT 12:31:59 ON 27 FEB 2006

L15 SEL PLU=ON L9 1- CHEM : 24 TERMS

FILE 'HCAPLUS' ENTERED AT 12:31:59 ON 27 FEB 2006

L16 2600 SEA PLU=ON L13

L17 858 SEA PLU=ON L14

L18 5370 SEA PLU=ON L15

L19 7783 SEA PLU=ON L3 OR L16 OR L6 OR L17 OR L9 OR L18 OR (HYDROXY
(W) NAPHTHOIC (W) ACID)

L20 19 SEA PLU=ON L19 AND L12

FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:17 ON 27 FEB 2006

FILE 'REGISTRY' ENTERED AT 12:33:33 ON 27 FEB 2006

L21 SEL PLU=ON L3 1- CHEM : 2 TERMS

FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:33 ON 27 FEB 2006

FILE 'REGISTRY' ENTERED AT 12:33:33 ON 27 FEB 2006

L22 SEL PLU=ON L6 1- CHEM : 6 TERMS

FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:34 ON 27 FEB 2006

FILE 'REGISTRY' ENTERED AT 12:33:34 ON 27 FEB 2006

L23 SEL PLU=ON L9 1- CHEM : 24 TERMS

FILE 'USPATFULL, USPAT2' ENTERED AT 12:33:34 ON 27 FEB 2006

L24 1347 SEA PLU=ON L21

L25 894 SEA PLU=ON L22

L26 7723 SEA PLU=ON L23

L27 9626 SEA PLU=ON L3 OR L24 OR L6 OR L25 OR L9 OR L26 OR (HYDROXY
(W) NAPHTHOIC (W) ACID)

L28 57969 SEA PLU=ON L11

L29 59157 SEA PLU=ON L2 OR L28

L30 548 SEA PLU=ON L29 AND L27

L31 436 SEA PLU=ON L30 AND POLYMER

L32 218 SEA PLU=ON L31 AND (SUSTAINED (W) RELEASE)

L33 55 SEA PLU=ON L32 AND (PD<20000713 OR PRD<20000713)

L34 1 SEA PLU=ON L32 AND (PD<19990713)

L35 1 SEA PLU=ON L32 AND (PD<19990715)

D L35 IBIB ABS KWIC

E IGARI/IN

E IGARI/AP

E IGARI YASUTAKA/IN

L36 33 SEA PLU=ON "IGARI YASUTAKA"/IN

L37 4 SEA PLU=ON L33 AND L36

E HATA YOSHI/IN

E HATA YOSHIOIN

E HATA YOSHIO/IN

L38 17 SEA PLU=ON "HATA YOSHIO"/IN
L39 4 SEA PLU=ON L38 AND L33
E YAMAMOTO KAZUMICHI/IN
L40 51 SEA PLU=ON ("YAMAMOTO KAZUMI"/IN OR "YAMAMOTO KAZUMICHI"/IN)

L41 2 SEA PLU=ON L33 AND L40
L42 4 SEA PLU=ON L37 OR L39 OR L41
L43 51 SEA PLU=ON L33 NOT L42
L44 50 SEA PLU=ON L43 NOT L34
D L44 1-5 IBIB ABS KWIC
D L44 1-50 IBIB

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 13:00:28 ON 27 FEB 2006

L45 8524 SEA PLU=ON (POLY (W) LACTIC (W) ACID)
L46 325 SEA PLU=ON (HYDROXY (W) NAPHTHOIC)
L47 0 SEA PLU=ON L45 AND L46
L48 3930 SEA PLU=ON HYDROXYNAPHTHOIC ACID
L49 20 SEA PLU=ON L45 AND L48
L50 3564 SEA PLU=ON (POLY(W) GLYCOLIC ACID)
L51 2246 SEA PLU=ON L50 AND L45
L52 15 SEA PLU=ON L51 AND L48
L53 14 DUP REM L52 (1 DUPLICATE REMOVED)
ANSWERS '1-14' FROM FILE USPATFULL
D L53 1-14 IBIB ABS KWIC
L54 14 SEA PLU=ON L53 AND L49
L55 6 SEA PLU=ON L49 NOT L53
L56 5 DUP REM L55 (1 DUPLICATE REMOVED)
ANSWERS '1-4' FROM FILE USPATFULL
ANSWER '5' FROM FILE USPAT2
D L56 1-5 IBIB KWIC

FILE HOME

FILE STNINDEX

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem:

STRUCTURE FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2
DICTIONARY FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE CASREACT

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FILE CONTENT:1840 - 26 Feb 2006 VOL 144 ISS 9

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE COVERS 1907 - 27 Feb 2006 VOL 144 ISS 10

FILE LAST UPDATED: 26 Feb 2006 (20060226/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Feb 2006 (20060223/PD)

FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)

CA INDEXING IS CURRENT THROUGH 23 Feb 2006 (20060223/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Feb 2006 (20060223/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 23 Feb 2006 (20060223/PD)

FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)

CA INDEXING IS CURRENT THROUGH 23 Feb 2006 (20060223/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Feb 2006 (20060223/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

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L45	8524	SEA (POLY (W) LACTIC (W) ACID)
L48	3930	SEA HYDROXYNAPHTHOIC ACID
L49	20	SEA L45 AND L48
L50	3564	SEA (POLY(W) GLYCOLIC ACID)
L51	2246	SEA L50 AND L45
L52	15	SEA L51 AND L48
L53	14	DUP REM L52 (1 DUPLICATE REMOVED)
L55	6	SEA L49 NOT L53
L56	5	DUP REM L55 (1 DUPLICATE REMOVED)

=> d 156 1-5 ibib kwic

L56 ANSWER 1 OF 5 USPATFULL on STN

DUPLICATE 1

ACCESSION NUMBER: 2003:99376 USPATFULL
 TITLE: Microfiber articles from multi-layer substrates
 INVENTOR(S): Kody, Robert S., Minneapolis, MN, UNITED STATES
 Perez, Mario A., Burnsville, MN, UNITED STATES
 Longabach, John W., White Bear Lake, MN, UNITED STATES
 Klepzig, Kimberley D., Saint Paul, MN, UNITED STATES
 Sebastian, John M., Maplewood, MN, UNITED STATES
 Hobbs, Terry R., Saint Paul, MN, UNITED STATES
 Michel, Matthew J., Saint Paul, MN, UNITED STATES
 Lindquist, Timothy J., Saint Paul, MN, UNITED STATES
 Sura, Ravi K., Woodbury, MN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003068481	A1	20030410
	US 6977113	B2	20051220
APPLICATION INFO.:	US 2001-974040	A1	20011009 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	3M INNOVATIVE PROPERTIES COMPANY, PO BOX 33427, ST. PAUL, MN, 55133-3427		
NUMBER OF CLAIMS:	44		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Page(s)		
LINE COUNT:	1617		

DETD . . . high and low density polyethylene, polypropylene, polyoxymethylene, poly(vinylidene fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), poly(butylene terephthalate), **poly(lactic acid)**, nylon 6, nylon 66, polybutene, and thermotropic liquid crystal polymers. Examples of suitable thermotropic liquid crystal polymers include aromatic polyesters. . . include a first type consisting of parahydroxybenzoic acid (PHB), terephthalic acid, and biphenol; a second type consisting of PHB and 2,6-**hydroxynaphthoic acid**; and a third type consisting of PHB, terephthalic acid, and ethylene glycol. Preferred polymers include polyolefins such as polypropylene and. . .

DETD . . . low density polyethylene, polypropylene, polyoxymethylene, poly(vinylidene fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), poly(ethylene naphthalate), poly(butylene terephthalate), **poly(lactic acid)**, nylon 612, nylon 6, nylon 66, polybutene, a thermotropic liquid crystal polymer, a blend of one or more of these. . .

CLM What is claimed is:
 . . . density polyethylene, polypropylene, polyoxymethylene, poly(vinylidene fluoride), poly(methyl pentene), poly(ethylene-chlorotrifluoroethylene), poly(vinyl fluoride), poly(ethylene oxide), poly(ethylene terephthalate), poly(ethylene naphthalate), poly(butylene terephthalate), **poly(lactic acid)**, nylon 612, nylon 6, nylon 66, polybutene, a thermotropic liquid crystal polymer, a blend of one or more of. . .

L56 ANSWER 2 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2005:30396 USPATFULL
 TITLE: Sustained release compositions, process for producing the same and utilization thereof

INVENTOR(S): Saikawa, Akira, Kyoto, JAPAN
 Igari, Yasutaka, Hyogo, JAPAN
 Hata, Yoshio, Osaka, JAPAN
 Yamamoto, Kazumichi, Nara-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005025826	A1	20050203
APPLICATION INFO.:	US 2004-799320	A1	20040312 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-582926, filed on 5 Jul 2000, GRANTED, Pat. No. US 6740634 A 371 of International Ser. No. WO 1999-JP86, filed on 13 Jan 1999, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-6412	19980116
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500, LINCOLNSHIRE, IL, 60069	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	CLM-01-16	
LINE COUNT:	1785	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sustained-release composition containing a **hydroxynaphthoic acid** salt of a biologically active substance and a biodegradable polymer, a method of its production, and a pharmaceutical composition containing.

SUMM . . . the biologically active substance is incorporated at high contents in the composition by allowing the biologically active substance and the **hydroxynaphthoic acid** to be co-present during formation of the composition, and when both are included in the biodegradable polymer, the biologically active. . . at rates differing from those of the biologically active substance from the counterpart composition of the biologically active substance and **hydroxynaphthoic acid** prepared in the absence of the biodegradable polymer, which rate of release being controllable by choosing the appropriate kind of. . .

SUMM [0008] (1) a sustained-release composition containing a biologically active substance or salt thereof, a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer or salt thereof,

SUMM [0011] (4) a sustained-release composition according to term (1) above wherein the **hydroxynaphthoic acid** is 3-hydroxy-2-naphthoic acid,

SUMM [0021] (13) a sustained-release composition according to term (3) above, wherein the molar ratio of the **hydroxynaphthoic acid** or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to 3,

SUMM . . . removing the solvent from a mixture of a bioactive substance or salt thereof, a biodegradable polymer or salt thereof, and **hydroxynaphthoic acid** or a salt thereof,

SUMM . . . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and **hydroxynaphthoic acid** or a salt thereof, and subsequently removing the organic solvent,

SUMM [0032] (24) a method of suppressing bioactive substance initial burst from a sustained-release composition, comprising using **hydroxynaphthoic acid** or a salt thereof,

SUMM [0033] (25) a method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising using **hydroxynaphthoic acid** or a salt thereof,

SUMM [0038] (29) a sustained-release composition according to term (28) above, wherein the content of the **hydroxynaphthoic acid** or salt thereof is about 1 to about 7 mol, preferably about 1 to about 2 mol, per mol of. . .

SUMM . . . containing a bioactive substance or salt thereof as an internal aqueous phase and a solution containing a biodegradable polymer and **hydroxynaphthoic acid** or a salt thereof as an oil phase, and subsequently removing the solvent,

SUMM . . . production method for the sustained-release composition according to term (17) above, comprising producing a W/O emulsion with a solution containing **hydroxynaphthoic acid** or a salt thereof as an internal aqueous phase and a solution containing a bioactive substance or salt thereof and. . .

SUMM . . . for the sustained-release composition according to term (28) above, comprising mixing and dissolving a bioactive peptide or salt thereof and **hydroxynaphthoic acid** or salt thereof, and subsequently removing the solvent, and

SUMM [0057] The **hydroxynaphthoic acid** for the present invention consists of a naphthalene ring and 1 hydroxyl group and 1 carboxyl group, both groups binding. . .

SUMM [0059] Regarding the pKa values of the above-described **hydroxynaphthoic acid** isomers, the only known value is for 3-hydroxy-2-naphthoic acid (pKa=2.708, Kagaku Binran Kisohen II, Chemical Society of Japan, published Sep.. . .

SUMM [0060] The **hydroxynaphthoic acid** may be a salt. Salts include, for example, salts with inorganic bases (e.g., alkali metals such as sodium and potassium,. . .

SUMM [0061] An example method of preparing the **hydroxynaphthoic acid** salt of the bioactive substance of the present invention is given below.

SUMM [0062] (1) A hydrated organic solvent solution of **hydroxynaphthoic acid** is passed through a weakly basic ion exchange column to adsorb the acid and saturate the column. The excess portion of the **hydroxynaphthoic acid** is then removed through the hydrated organic solvent, after which a hydrated organic solvent solution of the bioactive substance or. . .

SUMM . . . solvent solution of the bioactive substance or salt thereof is passed, to convert the basic groups to the hydroxide type. **Hydroxynaphthoic acid** in an amount not more than the molar equivalent is added to the effluent recovered, and dissolved, followed by concentration;. . .

SUMM [0064] Because the **hydroxynaphthoic acid** salt of a bioactive substance is very slightly soluble in water, although also depending on the bioactive substance used, said. . .

SUMM . . . may be of the D-, L- or DL-configuration. Of these, lactic acid-glycolic acid polymers [hereinafter also referred to as poly(lactide-co-glycolide), **poly(lactic acid** -co-glycolic acid) or lactic acid-glycolic acid copolymer; generically refer to lactic acid-glycolic acid homopolymers and copolymers, unless otherwise specified; lactic acid. . .

SUMM . . . of effect, and other factors. In the case of a sustained-release composition containing three components (bioactive substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and biodegradable polymer or salt thereof), the ratio by weight of bioactive peptide or salt thereof, for. . . salt thereof, the ratio is about 0.01 to 80% by weight, preferably about 0.1 to 50% by weight. When the **hydroxynaphthoic acid** salt of a bioactive substance is contained, similar ratios by weight are

applicable. In the case of a sustained-release composition containing the salt of a bioactive peptide (referred to as (A)) with **hydroxynaphthoic acid** (referred to as (B)), the ratio by weight of (A) is normally about 5 to about 90% by weight, preferably.

- SUMM [0105] In the case of a sustained-release composition containing three components (bioactive substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and biodegradable polymer or salt thereof), the amount of **hydroxynaphthoic acid** or salt thereof formulated is preferably about 1/2 to about 2 mol, more preferably about 3/4 to about {fraction (4/3)}.
- SUMM . . . Designing the composition of the present invention is hereinafter described for a sustained-release composition containing three components: basic bioactive substance, **hydroxynaphthoic acid**, and biodegradable polymer. In this case, the bioactive substance, as a base, and **hydroxynaphthoic acid**, as an acid, are concurrently present in the composition; whether they are formulated in the composition in the form of. . . of a trace amount of water, at a point during production of the composition. Because the salt formed by any **hydroxynaphthoic acid**, which is very slightly soluble in water, with a bioactive substance is assumed to be very slightly soluble in water, . . .
- SUMM . . . soluble in water as described above, judging from the above-described dissociation equilibrium. For this purpose, it is desirable that the **hydroxynaphthoic acid** or salt thereof be formulated in an amount at least nearly equivalent to that of the bioactive substance or salt. . .
- SUMM . . . above-described formula composition, the bioactive substance is mostly protonated and present with a counter ion. The counter ion is mainly **hydroxynaphthoic acid** (preferably **hydroxynaphthoic acid**). After the composition is administered to the living body, its oligomers and monomers begin to be produced over time due. . . is released without charge transfer, or in the form of a salt with a counter ion; transferable counter ions include **hydroxynaphthoic acids**, lactic acid-glycolic acid oligomers (of such molecular weights that transfer is possible), and monomers (lactic acid or glycolic acid), as. . .
- SUMM . . . stronger acids are usually preferentially produced, although the outcome also depends on their content ratio. Regarding the pKa values of **hydroxynaphthoic acids**, 3-hydroxy-2-naphthoic acid, for example, is known to have a pKa value of 2.708 (Kagaku Binran Kishoten II, Chemical Society of. . .
- SUMM [0111] Because **hydroxynaphthoic acids** are therefore stronger acids than lactic acid (pKa=3.86), glycolic acid (pKa=3.83), and lactic acid-glycolic acid oligomers, it is assumed that the **hydroxynaphthoic acid** salt of the bioactive substance is preferentially produced in the above-described composition, the characteristics of the salt being assumed to. . .
- SUMM [0112] Here, the fact that the salt formed by the **hydroxynaphthoic acid** with the bioactive substance is very slightly soluble in water, rather than insoluble in water, serves in favor of the sustained-release mechanism. In other words, as demonstrated in the above discussion of acid dissociation constant, the salt of **hydroxynaphthoic acid**, a stronger acid than the above-described lactic acid-glycolic acid oligomers and monomers, is predominant in the initial stage of release; the initial release pattern of the drug can be regulated by the content ratio of **hydroxynaphthoic acid**, because the solubility and body tissue distribution profile of the salt serves as determinants of the bioactive substance release rate. Then, as the oligomers and monomers

increase, due to reduction in the **hydroxynaphthoic acid** and hydrolysis of the biodegradable polymer, the bioactive substance release mechanism involving oligomers and monomers as counter ions becomes predominant gradually; even if the **hydroxynaphthoic acid** disappears substantially from said "composition," stable bioactive substance release is achieved. The increased efficiency of bioactive substance incorporation for production. . .

- SUMM [0113] The role of the **hydroxynaphthoic acid** in the sustained-release composition containing the **hydroxynaphthoic acid** salt of a bioactive peptide can also be explained by the above-described mechanism.
- SUMM . . . Production methods for sustained-release compositions of the present invention, which contain a biologically active substance or a salt thereof, a **hydroxynaphthoic acid** or a salt thereof, and a biodegradable polymer or a salt thereof, microspheres, are exemplified below.
- SUMM [0121] In this method, an organic solvent solution of the **hydroxynaphthoic acid** or a salt thereof and biodegradable polymer or a salt thereof is prepared.
- SUMM . . . an organic solvent of the biodegradable polymer or a salt thereof. Alcohols are preferable for an organic solvent of the **hydroxynaphthoic acid** or a salt thereof. These solvents may be used in mixtures at appropriate ratios. Of these solvents, mixtures of halogenated. . .
- SUMM [0125] The **hydroxynaphthoic acid** or a salt thereof concentration in the organic solvent solution is normally chosen, for example, over the range from about. . .
- SUMM [0126] The biologically active substance or salt thereof is added to thus-obtained organic solvent solution containing a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, and dissolved or dispersed.
- SUMM [0127] The thus-obtained organic solvent solution containing a biologically active substance or salt thereof, a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, is then added to a water phase to form an O (oil phase)/W. . .
- SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, **hydroxynaphthoic acid**, drug support, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.
- SUMM . . . Next, to the organic solvent solution (oil phase) of the biologically active substance and biodegradable polymer, a solution of a **hydroxynaphthoic acid** or salt thereof [this solvent exemplified by water, alcohols (e.g., methanol, ethanol), pyridine solution, dimethylacetamide solution etc.] is added. This. . .
- SUMM [0151] The thus-obtained W/O emulsion containing a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer or salt thereof, is then added to a water phase to form a. . .
- SUMM [0154] First, an organic solvent solution containing a **hydroxynaphthoic acid** and a biodegradable polymer is prepared. Thus-obtained organic solvent solution is called as an oil phase. The preparation method is. . .
- SUMM [0155] Alternatively, an organic solvent solution containing a **hydroxynaphthoic acid** and an organic solvent solution containing a biodegradable polymer may be prepared separately, and mixed together to prepare the oil. . .
- SUMM [0160] Thus-obtained w/o/emulsion containing a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, is then added to a water

phase to form a w(internal water phase)/o(oil). . .

SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, during stirring, to precipitate and solidify the microspheres. Said coacervating agent. . .

SUMM . . . miscible in the organic solvent, and that does not dissolve the salt complex of the biologically active substance with the **hydroxynaphthoic acid** and biocompatible polymer. Specifically, useful coacervating agents include, for example, silicon oil, sesame oil, soybean oil, corn oil, cotton seed. . .

SUMM . . . repeatedly washed with heptane etc. to remove the coacervating agent etc. other than the composition of the biologically active substance, **hydroxynaphthoic acid** and biodegradable polymer, followed by drying under reduced pressure. Alternatively, the microspheres are washed in the same manner as in. . .

SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, is sprayed via a nozzle into the drying chamber of a. . .

SUMM . . . in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, may be dried by evaporating the organic solvent and water, while. . .

SUMM [0172] A biologically active substance or salt thereof is added to a solution of a **hydroxynaphthoic acid** or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the **hydroxynaphthoic acid** of the biologically active substance.

SUMM [0174] Organic solvent removal for precipitation of a composition of a **hydroxynaphthoic acid** of the biologically active substance can be achieved by commonly known methods or methods based thereon. Such methods include, for. . .

SUMM [0175] The thus-obtained composition of a **hydroxynaphthoic acid** of the biologically active substance can be again dissolved in an organic solvent to yield a sustained-release composition (microspheres or. . .

SUMM [0177] The organic solvent solution containing the **hydroxynaphthoic acid** of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). . .

SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, **hydroxynaphthoic acid**, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.

SUMM [0187] A biologically active substance or salt thereof is added to a solution of a **hydroxynaphthoic acid** or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the **hydroxynaphthoic acid** of the biologically active substance, after which a sustained-release preparation (microspheres or microparticles) is prepared.

SUMM [0189] The organic solvent solution containing the **hydroxynaphthoic acid** of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). . .

CLM What is claimed is:

17. A method of producing a sustained-release composition containing a biologically active substance or salt thereof, a **hydroxynaphthoic acid** or salt thereof and a biodegradable polymer or salt thereof, comprising removing the organic solvent from a mixture of a bioactive substance or salt thereof in an organic solvent, a biodegradable polymer or salt thereof, and **hydroxynaphthoic acid** or a salt thereof.

. . . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and **hydroxynaphthoic acid** or a salt thereof, and subsequently removing the organic solvent.

24. A method of suppressing bioactive substance initial burst from a sustained-release composition, comprising adding **hydroxynaphthoic acid** or a salt thereof to the sustained-release composition.

25. A method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising adding **hydroxynaphthoic acid** or a salt thereof to the sustained-release composition.

L56 ANSWER 3 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:161347 USPATFULL
 TITLE: Process for producing polymer
 INVENTOR(S): Hata, Yoshio, Ibaraki, JAPAN
 Igari, Yasutaka, Kobe, JAPAN
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6756472	B1	20040629
	WO 2000035990		20000622
APPLICATION INFO.:	US 2001-857943		20010612 (9)
	WO 1999-JP7013		19991214

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-356497	19981215
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Hightower, P. Hampton	
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack, L.L.P.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	1414	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . methyl cellulose, carboxymethyl cellulose and dextrin), disintegrating agents (such as calcium carboxymethyl cellulose), and drug retention agents (such as gelatin, **hydroxynaphthoic acid** and salicylic acid).

SUMM . . . "poly- α -hydroxycarboxylic acid" include lactic acid and glycolic acid, as well as their copolymers (which may be referred to as poly(lactide-co-glycolide), **poly(lactic acid** -co-glycolic acid) or lactic acid-glycolic acid polymer, and unless otherwise indicated, generically referred to as homopolymers of lactic

acid and glycolic. . .

SUMM Drug retention agents (such as gelatin, **hydroxynaphthoic acid** and salicylic acid) may also be added as necessary during the following production process in accordance with processes which are.

L56 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:129629 USPATFULL

TITLE: Sustained release compositions, process for producing the same and utilization thereof

INVENTOR(S): Saikawa, Akira, Nagaokakyo, JAPAN
Igari, Yasutaka, Kobe, JAPAN
Hata, Yoshio, Toyonaka, JAPAN
Yamamoto, Kazumichi, Nara, JAPAN

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6740634	B1	20040525
	WO 9936099		19990722
APPLICATION INFO.:	US 2000-582926		20000706 (9)
	WO 1999-JP86		19990113

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-6412	19980116
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Wax, Robert A.	
ASSISTANT EXAMINER:	Lukton, David	
LEGAL REPRESENTATIVE:	Ramesh, Elaine M., Chao, Mark	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	1772	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sustained-release composition containing a **hydroxynaphthoic acid** salt of a biologically active substance and a biodegradable polymer, a method of its production, and a pharmaceutical composition containing. . .

SUMM . . . a biologically active substance is incorporated in high concentration in a composition by allowing the biologically active substance and the **hydroxynaphthoic acid** to be co-present during formation of the composition, and when both are included in a biodegradable polymer, the biologically active. . . at rates differing from those of the biologically active substance from the counterpart composition of the biologically active substance and **hydroxynaphthoic acid** prepared in the absence of the biodegradable polymer, which rate of release is controllable by choosing the appropriate kind of. . .

SUMM (1) a sustained-release composition containing a biologically active substance or salt thereof, a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer or salt thereof,

SUMM (4) a sustained-release composition according to term (1) above wherein the **hydroxynaphthoic acid** is 3-hydroxy-2-naphthoic acid,

SUMM (13) a sustained-release composition according to term (3) above, wherein the molar ratio of the **hydroxynaphthoic acid** or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to 3,

SUMM . . . removing the solvent from a mixture of a bioactive substance or salt thereof, a biodegradable polymer or salt thereof, and **hydroxynaphthoic acid** or a salt thereof,

SUMM . . . dispersing a bioactive substance or salt thereof in an organic solvent solution containing a biodegradable polymer or salt thereof and **hydroxynaphthoic acid** or a salt thereof, and subsequently removing the organic solvent,

SUMM (24) a method of suppressing bioactive substance initial burst from a sustained-release composition, comprising using **hydroxynaphthoic acid** or a salt thereof,

SUMM (25) a method of increasing the efficiency of bioactive substance inclusion in a sustained-release composition, comprising using **hydroxynaphthoic acid** or a salt thereof,

SUMM (29) a sustained-release composition according to term (28) above, wherein the content of the **hydroxynaphthoic acid** or salt thereof is about 1 to about 7 mol, preferably about 1 to about 2 mol, per mol of. . .

SUMM . . . containing a bioactive substance or salt thereof as an internal aqueous phase and a solution containing a biodegradable polymer and **hydroxynaphthoic acid** or a salt thereof as an oil phase, and subsequently removing the solvent,

SUMM . . . production method for the sustained-release composition according to term (17) above, comprising producing a W/O emulsion with a solution containing **hydroxynaphthoic acid** or a salt thereof as an internal aqueous phase and a solution containing a bioactive substance or salt thereof and. . .

SUMM . . . for the sustained-release composition according to term (28) above, comprising mixing and dissolving a bioactive peptide or salt thereof and **hydroxynaphthoic acid** or salt thereof, and subsequently removing the solvent, and

SUMM The **hydroxynaphthoic acid** for the present invention consists of a naphthalene ring and 1 hydroxyl group and 1 carboxyl group, both groups binding. . .

SUMM Regarding the pKa values of the above-described **hydroxynaphthoic acid** isomers, the only known value is for 3-hydroxy-2-naphthoic acid (pKa=2.708, Kagaku Binran Kisohe II, Chemical Society of Japan, published Sep. . .

SUMM The **hydroxynaphthoic acid** may be a salt. Salts include, for example, salts with inorganic bases (e.g., alkali metals such as sodium and potassium,. . .

SUMM An example method of preparing the **hydroxynaphthoic acid** salt of the bioactive substance of the present invention is given below.

SUMM (1) A hydrated organic solvent solution of **hydroxynaphthoic acid** is passed through a weakly basic ion exchange column to adsorb the acid and saturate the column. The excess portion of the **hydroxynaphthoic acid** is then removed through the hydrated organic solvent, after which a hydrated organic solvent solution of the bioactive substance or. . .

SUMM . . . solvent solution of the bioactive substance or salt thereof is passed, to convert the basic groups to the hydroxide type. **Hydroxynaphthoic acid** in an amount not more than the molar equivalent is added to the effluent recovered, and dissolved, followed by concentration;. . .

SUMM Because the **hydroxynaphthoic acid** salt of a bioactive substance is very slightly soluble in water, although also depending on the bioactive substance used, said. . .

SUMM . . . may be of the D-, L- or DL-configuration. Of these, lactic acid-glycolic acid polymers [hereinafter also referred to as poly(lactide-co-glycolide), **poly(lactic acid** -co-glycolic acid) or lactic acid-glycolic acid copolymer; generically

refer to lactic acid-glycolic acid homopolymers and copolymers, unless otherwise specified; lactic acid. . . .

SUMM . . . of effect, and other factors. In the case of a sustained-release composition containing three components (bioactive substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and biodegradable polymer or salt thereof), the ratio by weight of bioactive peptide or salt thereof, for. . . salt thereof, the ratio is about 0.01 to 80% by weight, preferably about 0.1 to 50% by weight. When the **hydroxynaphthoic acid** salt of a bioactive substance is contained, similar ratios by weight are applicable. In the case of a sustained-release composition containing the salt of a bioactive peptide (referred to as (A)) with **hydroxynaphthoic acid** (referred to as (B)), the ratio by weight of (A) is normally about 5 to about 90% by weight, preferably.

SUMM In the case of a sustained-release composition containing three components (bioactive substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and biodegradable polymer or salt thereof), the amount of **hydroxynaphthoic acid** or salt thereof formulated is preferably about 1/2 to about 2 mol, more preferably about 3/4 to about 4/3 mol, . . .

SUMM Designing the composition of the present invention is hereinafter described for a sustained-release composition containing three components: basic bioactive substance, **hydroxynaphthoic acid**, and biodegradable polymer. In this case, the bioactive substance, as a base, and **hydroxynaphthoic acid**, as an acid, are concurrently present in the composition; whether they are formulated in the composition in the form of. . . of a trace amount of water, at a point during production of the composition. Because the salt formed by any **hydroxynaphthoic acid**, which is very slightly soluble in water, with a bioactive substance is assumed to be very slightly soluble in water, . . .

SUMM . . . soluble in water as described above, judging from the above-described dissociation equilibrium. For this purpose, it is desirable that the **hydroxynaphthoic acid** or salt thereof be formulated in an amount at least nearly equivalent to that of the bioactive substance or salt. . . .

SUMM . . . above-described formula composition, the bioactive substance is mostly protonated and present with a counter ion. The counter ion is mainly **hydroxynaphthoic acid** (preferably **hydroxynaphthoic acid**). After the composition is administered to the living body, its oligomers and monomers begin to be produced over time due. . . is released without charge transfer, or in the form of a salt with a counter ion; transferable counter ions include **hydroxynaphthoic acids**, lactic acid-glycolic acid oligomers (of such molecular weights that transfer is possible), and monomers (lactic acid or glycolic acid), as. . . .

SUMM . . . stronger acids are usually preferentially produced, although the outcome also depends on their content ratio. Regarding the pKa values of **hydroxynaphthoic acids**, 3-hydroxy-2-naphthoic acid, for example, is known to have a pKa value of 2.708 (Kagaku Binran Kisohe II, Chemical Society of. . . .

SUMM Because **hydroxynaphthoic acids** are therefore stronger acids than lactic acid (pKa=3.86.), glycolic acid (pKa=3.83), and lactic acid-glycolic acid oligomers, it is assumed that the **hydroxynaphthoic acid** salt of the bioactive substance is preferentially produced in the above-described composition, the characteristics of the salt being assumed to. . . .

SUMM Here, the fact that the salt formed by the **hydroxynaphthoic acid** with the bioactive substance is very slightly soluble in

water, rather than insoluble in water, serves in favor of the sustained-release mechanism. In other words, as demonstrated in the above discussion of acid dissociation constant, the salt of **hydroxynaphthoic acid**, a stronger acid than the above-described lactic acid-glycolic acid oligomers and monomers, is predominant in the initial stage of release; the initial release pattern of the drug can be regulated by the content ratio of **hydroxynaphthoic acid**, because the solubility and body tissue distribution profile of the salt serves as determinants of the bioactive substance release rate. Then, as the oligomers and monomers increase, due to reduction in the **hydroxynaphthoic acid** and hydrolysis of the biodegradable polymer, the bioactive substance release mechanism involving oligomers and monomers as counter ions becomes predominant gradually; even if the **hydroxynaphthoic acid** disappears substantially from said "composition," stable bioactive substance release is achieved. The increased efficiency of bioactive substance incorporation for production. . .

- SUMM The role of the **hydroxynaphthoic acid** in the sustained-release composition containing the **hydroxynaphthoic acid** salt of a bioactive peptide can also be explained by the above-described mechanism.
- SUMM Production methods for sustained-release compositions of the present invention, which contain a biologically active substance or a salt thereof, a **hydroxynaphthoic acid** or a salt thereof, and a biodegradable polymer or a salt thereof, microspheres, are exemplified below.
- SUMM In this method, an organic solvent solution of the **hydroxynaphthoic acid** or a salt thereof and biodegradable polymer or a salt thereof is prepared.
- SUMM . . . an organic solvent of the biodegradable polymer or a salt thereof. Alcohols are preferable for an organic solvent of the **hydroxynaphthoic acid** or a salt thereof. These solvents may be used in mixtures at appropriate ratios. Of these solvents, mixtures of halogenated. . .
- SUMM The **hydroxynaphthoic acid** or a salt thereof concentration in the organic solvent solution is normally chosen, for example, over the range from about. . .
- SUMM The biologically active substance or salt thereof is added to thus-obtained organic solvent solution containing a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, and dissolved or dispersed.
- SUMM The thus-obtained organic solvent solution containing a biologically active substance or salt thereof, a **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, is then added to a water phase to form an O(oil phase)/W. . .
- SUMM . . . to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, **hydroxynaphthoic acid**, drug support, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.
- SUMM Next, to the organic solvent solution (oil phase) of the biologically active substance and biodegradable polymer, a solution of a **hydroxynaphthoic acid** or salt thereof [this solvent exemplified by water, alcohols (e.g., methanol, ethanol), pyridine solution, dimethylacetamide solution etc.] is added. This. . .
- SUMM The thus-obtained W/O emulsion containing a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer or salt thereof, is then added to a water phase to form a. . .
- SUMM First, an organic solvent solution containing a **hydroxynaphthoic acid** and a biodegradable polymer is prepared. Thus-obtained

organic solvent solution is referred to as an oil phase. The preparation method. . . .

SUMM Alternatively, an organic solvent solution containing a **hydroxynaphthoic acid** and an organic solvent solution containing a biodegradable polymer may be prepared separately, and mixed together to prepare the oil. . . .

SUMM Thus-obtained W/O emulsion containing a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer, is then added to a water phase to form a w(internal water phase)/o(oil). . . .

SUMM in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, during stirring, to precipitate and solidify the microspheres. Said coacervating agent. . . .

SUMM miscible in the organic solvent, and that does not dissolve the salt complex of the biologically active substance with the **hydroxynaphthoic acid** and biocompatible polymer.

Specifically, useful coacervating agents include, for example, silicon oil, sesame oil, soybean oil, corn oil, cotton seed. . . .

SUMM repeatedly washed with heptane etc. to remove the coacervating agent etc. other than the composition of the biologically active substance, **hydroxynaphthoic acid** and biodegradable polymer, followed by drying under reduced pressure. Alternatively, the microspheres are washed in the same manner as in. . . .

SUMM in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, is sprayed via a nozzle into the drying chamber of a. . . .

SUMM in aqueous drying method paragraph (I) above, which contains a composition consisting of a biologically active substance or salt thereof, **hydroxynaphthoic acid** or salt thereof and biodegradable polymer or salt thereof, may be dried by evaporating the organic solvent and water, while. . . .

SUMM A biologically active substance or salt thereof is added to a solution of a **hydroxynaphthoic acid** or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic solvent solution of the **hydroxynaphthoic acid** of the biologically active substance.

SUMM Organic solvent removal for precipitation of a composition of a **hydroxynaphthoic acid** of the biologically active substance can be achieved by commonly known methods or methods based thereon. Such methods include, for. . . .

SUMM The thus-obtained composition of a **hydroxynaphthoic acid** of the biologically active substance can be again dissolved in an organic solvent to yield a sustained-release composition (microspheres or. . . .

SUMM The organic solvent solution containing the **hydroxynaphthoic acid** of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase). . . .

SUMM to separate them, after which they are washed with distilled water several times to remove the free biologically active substance, **hydroxynaphthoic acid**, emulsifier etc. adhering to the microsphere surface, then again dispersed in distilled water etc. and freeze-dried.

SUMM A biologically active substance or salt thereof is added to a solution of a **hydroxynaphthoic acid** or salt thereof in an organic solvent to a weight ratio falling within the above-described content range for biologically active substances, to yield an organic

solvent solution of the **hydroxynaphthoic acid** of the biologically active substance, after which a sustained-release preparation (microspheres or microparticles) is prepared.

SUMM The organic solvent solution containing the **hydroxynaphthoic acid** of the biologically active substance is then added to a water phase to form an O (oil phase)/W (water phase).

CLM What is claimed is:

1. A sustained-release composition comprising a biologically active peptide, **hydroxynaphthoic acid** or salt thereof, and a biodegradable polymer or salt thereof.
3. A sustained-release composition according to claim 1 wherein the **hydroxynaphthoic acid** is 3-hydroxy-2-naphthoic acid.
12. A sustained-release composition according to claim 2, wherein the molar ratio of the **hydroxynaphthoic acid** or salt thereof and the LH-RH derivative or salt thereof is from 3 to 4 to 4 to 3.
17. A sustained-release composition comprising the **hydroxynaphthoic acid** salt of a biologically active peptide and a biodegradable polymer or salt thereof.

L56 ANSWER 5 OF 5 USPAT2 on STN

ACCESSION NUMBER: 2003:120898 USPAT2

TITLE: Orally administered dosage forms of GABA analog prodrugs having reduced toxicity

INVENTOR(S): Cundy, Kenneth C., Redwood City, CA, United States
Gallop, Mark A., Los Altos, CA, United States

PATENT ASSIGNEE(S): Xenoport, Inc., Santa Clara, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6833140	B2	20041221
APPLICATION INFO.:	US 2002-170127		20020611 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-297521P	20010611 (60)
	US 2001-298514P	20010614 (60)
	US 2002-366090P	20020319 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Spear, James M.

ASSISTANT EXAMINER: Tran, S.

LEGAL REPRESENTATIVE: Singh, Sunil K., Cooley Godward LLP

NUMBER OF CLAIMS: 29

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 2426

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the . . .

DETD . . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides),

poly (amino acids), poly(esters), **poly(lactic acid)**, poly(glycolic acid), poly(carbohydrate), poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled. . .

DETD . . . dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable poly(glycolic) acid or **poly(lactic acid)** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

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L53 ANSWER 1 OF 14 USPATFULL on STN

DUPLICATE 1

ACCESSION NUMBER: 2003:120898 USPATFULL

TITLE: Orally administered dosage forms of GABA analog
prodrugs having reduced toxicity

INVENTOR(S): Cundy, Kenneth C., Redwood City, CA, UNITED STATES
Gallop, Mark A., Los Altos, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003083382	A1	20030501
	US 6833140	B2	20041221
APPLICATION INFO.:	US 2002-170127	A1	20020611 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-297521P	20010611 (60)
	US 2001-298514P	20010614 (60)
	US 2002-366090P	20020319 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO SQUARE, PALO ALTO, CA, 94306	
NUMBER OF CLAIMS:	52	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2468	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an extended release oral dosage form of prodrugs of gabapentin and other GABA analogs, which dosage forms exhibit reduced toxicity. The dosage forms are particularly useful in administering those prodrugs of gabapentin and other GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which the parent gabapentin or other GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the . . .

DETD . . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of. . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 2 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2006:10607 USPATFULL
 TITLE: Quinoline- and isoquinoline-based compounds exhibiting ATP-utilizing enzyme inhibitory activity, and compositions, and uses thereof
 INVENTOR(S): Dickson, John K. JR., Apex, NC, UNITED STATES
 Williams, Kevin P., Chapel Hill, NC, UNITED STATES
 Hodge, Carl Nicholas, Los Gatos, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006009460	A1	20060112
APPLICATION INFO.:	US 2005-145562	A1	20050603 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-577224P	20040604 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 901 NEW YORK AVENUE, NW, WASHINGTON, DC, 20001-4413, US	
NUMBER OF CLAIMS:	54	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3550	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinoline- and isoquinoline-based compounds exhibiting ATP-utilizing enzyme inhibitory activity, methods of using compounds exhibiting ATP-utilizing enzyme inhibitory activity, and compositions comprising compounds exhibiting ATP-utilizing enzyme inhibitory activity, are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

SUMM . . . the compound over a sustained release period. Representative biodegradable polymers include a polymer chosen from biodegradable poly(amides), poly(amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones).

SUMM . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways include a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 3 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2006:3546 USPATFULL
 TITLE: Pharmaceutical compositions with synchronized solubilizer release
 INVENTOR(S): Fikstad, David, Salt Lake City, UT, UNITED STATES
 Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED STATES
 Giliyar, Chandrashekar, Salt Lake City, UT, UNITED STATES

PATENT ASSIGNEE(S): Patel, Mahesh, Salt Lake City, UT, UNITED STATES
Lipocine, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006003002	A1	20060105
APPLICATION INFO.:	US 2005-122788	A1	20050504 (11)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2003-700838, filed on 3 Nov 2003, PENDING		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	THORPE NORTH & WESTERN, LLP., 8180 SOUTH 700 EAST, SUITE 200, SANDY, UT, 84070, US		
NUMBER OF CLAIMS:	40		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	10 Drawing Page(s)		
LINE COUNT:	1831		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the . . .

DETD . . . with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co-γ-ethyl-L-glutamate, etc., polyesters like poly (ε-caprolactone), **poly(lactic acid)**, **poly(glycolic acid)** and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble. . .

L53 ANSWER 4 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:331361 USPATFULL

TITLE: Certain triazole-based compounds, compositions, and uses thereof

INVENTOR(S): Hodge, Carl Nicholas, Los Gatos, CA, UNITED STATES
Dickson, John K. JR., Apex, NC, UNITED STATES
Popa-Burke, Ioana G., Durham, NC, UNITED STATES
Mendoza, Jose Serafin, Chapel Hill, NC, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005288347	A1	20051229
APPLICATION INFO.:	US 2005-90956	A1	20050325 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-556795P	20040326 (60)
	US 2004-638944P	20041223 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 901 NEW YORK AVENUE, NW, WASHINGTON, DC, 20001-4413, US	

NUMBER OF CLAIMS: 86
 EXEMPLARY CLAIM: 1
 LINE COUNT: 5939

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Thiotriazole-based chemical entities exhibiting ATP-utilizing enzyme inhibitory activity, methods of using such chemical entities, and compositions comprising such chemical entities, are described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

SUMM . . . the compound over a sustained release period. Representative biodegradable polymers include a polymer chosen from biodegradable poly(amides), poly(amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones).

SUMM . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways include a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 5 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:313132 USPATFULL
 TITLE: 4-Substituted piperidine derivatives
 INVENTOR(S): McKerracher, Lisa, Iles-des-Soeurs, CANADA
 Thouin, Eryk, Montreal, CANADA
 Lubell, William, Montreal, CANADA
 Snow, Robert, West Chester, PA, UNITED STATES
 Gingras, Karine, Montreal, CANADA
 PATENT ASSIGNEE(S): Bioaxone Therapeutique Inc., Montreal, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005272751	A1	20051208
APPLICATION INFO.:	US 2005-65696	A1	20050224 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-546936P	20040224 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	KIRKPATRICK & LOCKHART NICHOLSON GRAHAM LLP, (FORMERLY KIRKPATRICK & LOCKHART LLP), 75 STATE STREET, BOSTON, MA, 02109-1808, US	
NUMBER OF CLAIMS:	46	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	12 Drawing Page(s)	
LINE COUNT:	5844	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted piperidine compounds represented by the structure I are provided, ##STR1## wherein each of R.sub.1a, R.sub.1b, R.sub.1c,

R.sub.1d, R.sub.1e, R.sub.1f, R.sub.1g, R.sub.1h, R.sub.2, R.sub.2A, R.sub.3, R.sub.4, A, X, a, x and n is as defined in the specification. Substituted piperidine compounds of structure I may permeate or penetrate across a nerve cell membrane into the interior of a nerve cell, may inhibit intracellular Rho kinase enzyme found in nerve cells in mammals, and may find utility in repair of damaged nerves in the central and peripheral nervous system of such mammals. These compounds may induce the regeneration or growth of neurites in mammalian nerve cells and may thereby induce regeneration of damaged or diseased nerve tissue. These compounds also find additional utility as antagonists of the enzyme Rho kinase in treatment of disease states in which Rho kinase is implicated. Pharmaceutical compositions containing these substituted piperidine compounds may be useful to promote neurite growth and in the treatment of diseases in which Rho kinase inhibition is indicated.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 2-ethylsuccinic acid, fumaric acid, glucoheptonic acid, glubionic acid, gluconic acid, glutamic acid, glycolylarsanilic acid, hexylresorcinic acid, hydrobromic acid, hydrochloric acid, **hydroxynaphthoic acid, 3-hydroxynaphthoic acid**, hydriodic acid, 2-hydroxyethanesulfonic acid, isethionic acid, lactic acid, lactobionic acid, laurylsulfuric acid, levulinic acid, malic acid, maleic acid, mandelic acid, . . .

SUMM . . . polyethylene glycol, a polyethylene glycol ether or ester, an alcohol, a transdermal penetration enhancer, a bioabsorbable polymer such as a **poly(lactic acid)**, a **poly(glycolic acid)**, a copolymer of lactic acid and glycolic acid, a bioabsorbable gelatin such as a gelfoam, a phospholipid, and combinations thereof.

L53 ANSWER 6 OF 14 USPTAFULL on STN

ACCESSION NUMBER: 2005:221616 USPTAFULL
 TITLE: Treating or preventing restless legs syndrome using prodrugs of GABA analogs
 INVENTOR(S): Barrett, Ronald W., Saratoga, CA, UNITED STATES
 Canafax, Daniel M., Half Moon Bay, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005192353	A1	20050901
APPLICATION INFO.:	US 2004-969196	A1	20040917 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-504172P	20030917 (60)
	US 2003-504279P	20030918 (60)
	US 2004-538495P	20040122 (60)

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: Sunil K. Singh, Dorsey & Whitney LLP, Intellectual Property Department, Four Embarcadero Center, Suite 3400, San Francisco, CA, 94111-4187, US

NUMBER OF CLAIMS: 28
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2678

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are methods of using prodrugs of gamma aminobutyric acid (GABA) analogs and pharmaceutical compositions thereof to treat or prevent restless legs syndrome in humans, and pharmaceutical compositions of prodrugs of GABA analogs useful in treating or preventing restless legs syndrome.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . sustained release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly(amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs, . . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic)acid** or **poly(lactic)acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 7 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:124944 USPATFULL

TITLE: Acyloxyalkyl carbamate prodrugs, methods of synthesis and use

INVENTOR(S): Gallop, Mark A., Los Altos, CA, UNITED STATES
Yao, Fenmei, Mountain View, CA, UNITED STATES
Ludwikow, Maria J., Cupertino, CA, UNITED STATES
Phan, Thu, Fremont, CA, UNITED STATES
Peng, Ge, Mountain View, CA, UNITED STATES

PATENT ASSIGNEE(S): XenoPort, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005107334	A1	20050519
APPLICATION INFO.:	US 2004-932374	A1	20040820 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-496938P	20030820 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US	
NUMBER OF CLAIMS:	52	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4458	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The disclosures herein relate generally to acyloxyalkyl carbamate prodrugs of (±)-4-amino-3-(4-chlorophenyl)butanoic acid and analogs thereof, pharmaceutical compositions thereof, methods of making prodrugs of (±)-4-amino-3-(4-chlorophenyl)butanoic acid and analogs thereof, methods of using prodrugs of (±)-4-amino-3-(4-chlorophenyl)butanoic acid and analogs thereof, and pharmaceutical compositions thereof for treating or preventing common diseases and/or disorders such as spasticity and/or acid reflux disease. The disclosures herein also relate to acyloxyalkyl carbamate prodrugs of (±)-4-amino-3-(4-

chlorophenyl)butanoic acid and analogs thereof which are suitable for oral administration and to sustained release oral dosage forms thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the . . .

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs. . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 8 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:112280 USPATFULL

TITLE: Pharmaceutical compositions with synchronized solubilizer release

INVENTOR(S): Fikstad, David, Salt Lake City, UT, UNITED STATES
Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED STATES
Giliyar, Chandrashekar, Salt Lake City, UT, UNITED STATES
Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES
Patel, Mahesh V., Salt Lake City, UT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005096365	A1	20050505
APPLICATION INFO.:	US 2003-700838	A1	20031103 (10)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO SQUARE, PALO ALTO, CA, 94306, US		
NUMBER OF CLAIMS:	28		
EXEMPLARY CLAIM:	1-34		
NUMBER OF DRAWINGS:	10 Drawing Page(s)		
LINE COUNT:	1813		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**,

salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .
 DETD . . . with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co- γ -ethyl-L-glutamate, etc., polyesters like poly (E-caprolactone), **poly(lactic acid)**, **poly(glycolic acid)** and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble. . .

L53 ANSWER 9 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:112211 USPATFULL
 TITLE: Pharmaceutical compositions with synchronized solubilizer release
 INVENTOR(S): Fikstad, David, Salt Lake City, UT, UNITED STATES
 Venkateshwaran, Srinivasan, Salt Lake City, UT, UNITED STATES
 Giliyar, Chandrashekar, Salt Lake City, UT, UNITED STATES
 Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES
 Patel, Mahesh V., Salt Lake City, UT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005096296	A1	20050505
APPLICATION INFO.:	US 2004-764016	A1	20040123 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2003-700838, filed on 3 Nov 2003, PENDING		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO SQUARE, PALO ALTO, CA, 94306, US		
NUMBER OF CLAIMS:	33		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	10 Drawing Page(s)		
LINE COUNT:	1815		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions with synchronized solubilizer release as well as various methods associated therewith, are disclosed and described. More specifically, the aqueous solubility of a drug is enhanced by synchronized release of a solubilizer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . (e.g., with tannic acid) or hydrolysable esters, erodible matrices (e.g., polyamides such as albumin, collagen, poly(L-glutamic-co- γ -ethyl-L-glutamate, etc., polyesters like poly(ϵ -caprolactone), **poly(lactic acid)**, **poly(glycolic acid)** and their copolymers, poly(ortho esters) and polyanhydrides), ion exchange resins (such as divinylbenzene-polystyrenesulfonate copolymer), waxes (such as microcrystalline wax), insoluble. . .

L53 ANSWER 10 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:105612 USPATFULL

TITLE: Treating and/or preventing urinary incontinence using
prodrugs of GABA analogs
INVENTOR(S): Barrett, Ronald W., Saratoga, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005090550	A1	20050428
APPLICATION INFO.:	US 2004-940884	A1	20040913 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-502585P	20030911 (60)
	US 2003-505210P	20030922 (60)
	US 2003-512288P	20031017 (60)
	US 2004-538748P	20040122 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Sunil K. Singh, Dorsey & Whitney LLP, Intellectual
Property Department, Four Embarcadero Center, Suite
3400, San Francisco, CA, 94111-4187, US
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
LINE COUNT: 2744

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are methods of using prodrugs of GABA analogs and
pharmaceutical compositions thereof to treat and/or prevent urinary
incontinence in humans, and pharmaceutical compositions of prodrugs of
GABA analogs useful in treating and/or preventing urinary incontinence.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-
carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid,
trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid,
gluconic acid, glutamic acid, **hydroxynaphthoic acid**,
salicylic acid, stearic acid, muconic acid, and the like; or (2) salts
formed when an acidic proton present in the. . .

DETD . . . sustained release period. Representative biodegradable
polymers comprise a member selected from the group consisting of
biodegradable poly(amides), poly(amino acids), poly(esters),
poly(lactic acid), **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester),
poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable
poly(dihydropyrans), and poly(dioxinones) which are known in the art
(Rosoff, Controlled Release of. . .

DETD . . . least one controlled-release dimensioned passageway.
Representative materials suitable for forming a passageway, or a
multiplicity of passageways comprise a leachable **poly(glycolic acid or poly(lactic acid) polymer** in the wall, a gelatinous filament, poly(vinyl
alcohol), leach-able polysaccharides, salts, and oxides. A pore
passageway, or more than. . .

L53 ANSWER 11 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:321591 USPATFULL
TITLE: Treating or preventing hot flashes using prodrugs of
GABA analogs
INVENTOR(S): Barrett, Ronald W., Saratoga, CA, UNITED STATES
Gallop, Mark A., Los Altos, CA, UNITED STATES

NUMBER	KIND	DATE

PATENT INFORMATION: US 2004254246 A1 20041216
 APPLICATION INFO.: US 2004-816551 A1 20040331 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-459472P	20030331 (60)
	US 2003-512280P	20031017 (60)
	US 2004-538724P	20040122 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2597	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are methods of using prodrugs of GABA analogs and pharmaceutical compositions thereof to treat or prevent hot flashes in humans and pharmaceutical compositions of prodrugs of GABA analogs useful in treating or preventing hot flashes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known into the art in (Rosoff, Controlled Release. . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 12 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:255290 USPATFULL
 TITLE: Orally administered dosage forms of gaba analog
 prodrugs having reduced toxicity
 INVENTOR(S): Cundy, Kenneth C., Redwood City, CA, UNITED STATES
 Gallop, Mark A., Los Altos, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004198820	A1	20041007
APPLICATION INFO.:	US 2004-829896	A1	20040421 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-170127, filed on 11 Jun 2002, PENDING		

NUMBER	DATE

PRIORITY INFORMATION: US 2001-297521P 20010611 (60)
 US 2001-298514P 20010614 (60)
 US 2002-366090P 20020319 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO
 SQUARE, PALO ALTO, CA, 94306
 NUMBER OF CLAIMS: 52
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2469

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an extended release oral dosage form of prodrugs of gabapentin and other GABA analogs, which dosage forms exhibit reduced toxicity. The dosage forms are particularly useful in administering those prodrugs of gabapentin and other GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which the parent gabapentin or other GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the . . .

DETD . . . release period. Representative biodegradable polymer comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly (orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs, . . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 13 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:216107 USPATFULL
 TITLE: Carbidopa prodrugs and derivatives, and compositions and uses thereof
 INVENTOR(S): Xiang, Jia-Ning, Palo Alto, CA, UNITED STATES
 Gallop, Mark A., Los Altos, CA, UNITED STATES
 Cundy, Kenneth C., Redwood City, CA, UNITED STATES
 Li, Jianhua, Sunnyvale, CA, UNITED STATES
 Xu, Feng, Palo Alto, CA, UNITED STATES
 Zhou, Cindy X., Palo Alto, CA, UNITED STATES
 Bhat, Laxminarayan, Santa Clara, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004167216	A1	20040826
APPLICATION INFO.:	US 2003-728942	A1	20031208 (10)

NUMBER	DATE
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 PRIORITY INFORMATION: US 2002-431304P 20021206 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow,, Garrett & Dunner,
 L.L.P., 1300 I Street, N.W., Washington, DC, 20005-3315
 NUMBER OF CLAIMS: 136
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4443

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Prodrugs of carbidopa, derivatives of carbidopa prodrugs, methods of making prodrugs of carbidopa and derivatives thereof, methods of using prodrugs of carbidopa and derivatives thereof, and compositions of prodrugs of carbidopa and derivatives thereof are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

SUMM . . . or derivative over a sustained release period. Representative biodegradable polymers comprise a polymer selected from biodegradable poly(amides), poly(amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dehydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs, . . .

SUMM . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

L53 ANSWER 14 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2004:209917 USPATFULL
 TITLE: Orally administered dosage forms of fused GABA analog prodrugs having reduced toxicity
 INVENTOR(S): Gallop, Mark A., Los Altos, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004162351	A1	20040819
APPLICATION INFO.:	US 2003-734631	A1	20031211 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-432931P	20021211 (60)
	US 2002-433243P	20021212 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO SQUARE, PALO ALTO, CA, 94306	
NUMBER OF CLAIMS:	53	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2084	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an extended release oral dosage form of prodrugs of fused GABA analogs of reduced toxicity. The dosage forms are particularly useful in administering those fused GABA analogs that are metabolized to form an aldehyde. The dosage forms of the invention are useful for treating or preventing diseases and/or disorders for which fused GABA analog are known to be therapeutically effective.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, **hydroxynaphthoic acid**, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the. . .

DETD . . . release period. Representative biodegradable polymers comprise a member selected from the group consisting of biodegradable poly(amides), poly (amino acids), poly(esters), **poly(lactic acid)**, **poly(glycolic acid)**, poly(carbohydrate), poly(orthoester), poly(orthocarbonate), poly(acetyl), poly(anhydrides), biodegradable poly(dihydropyrans), and poly(dioxinones) which are known in the art (Rosoff, Controlled Release of Drugs,. . .

DETD . . . least one controlled-release dimensioned passageway. Representative materials suitable for forming a passageway, or a multiplicity of passageways comprise a leachable **poly(glycolic) acid** or **poly(lactic) acid** polymer in the wall, a gelatinous filament, poly(vinyl alcohol), leach-able polysaccharides, salts, and oxides. A pore passageway, or more than. . .

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	"6756472"	DERWENT	AND	ON	2006/02/27 19:25
L2	1	2000-451999.NRAN.	DERWENT	AND	ON	2006/02/27 19:25
S1	2	"6977113".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:04
S2	2	"20050025826".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:04
S3	2	"6740634".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:05
S4	3	S2 or S3	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:35
S5	1	1999-444329.NRAN.	DERWENT	AND	ON	2006/02/27 16:16
S6	2	"6756472".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 14:36
S7	1	2000-451999.NRAN.	DERWENT	AND	ON	2006/02/27 14:37
S8	2400	polylactic or (poly adj lactic)	DERWENT	AND	ON	2006/02/27 15:00
S9	6959	S8 or pla or ((lactic adj acid) same (copolymer or polymer))	DERWENT	AND	ON	2006/02/27 15:01
S10	2033	polyglycolic or (poly adj glycolic) or pga	DERWENT	AND	ON	2006/02/27 15:00
S12	369	S10 same (copolymer or polymer)	DERWENT	AND	ON	2006/02/27 14:58
S13	250	S12 and S9	DERWENT	AND	ON	2006/02/27 14:59
S14	171	hydroxynaphthoic	DERWENT	AND	ON	2006/02/27 15:01
S15	304	hydroxy adj naphthoic	DERWENT	AND	ON	2006/02/27 14:59
S16	432	S14 or S15	DERWENT	AND	ON	2006/02/27 14:59
S17	0	S16 and S13	DERWENT	AND	ON	2006/02/27 14:59
S18	689	hydroxy adj3 naphthoic	DERWENT	AND	ON	2006/02/27 15:01
S19	0	S18 and S13	DERWENT	AND	ON	2006/02/27 15:00

EAST Search History

S20	0	S16.clm.	DERWENT	AND	ON	2006/02/27 15:00
S21	24744	polylactic or (poly adj lactic)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:00
S22	27631	polyglycolic or (poly adj glycolic) or pga	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:00
S23	191643	S21 or pla or ((lactic adj acid) same (copolymer or polymer))	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:01
S24	5194	hydroxy adj3 naphthoic	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S25	2547	hydroxynaphthoic	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S26	205526	S23 or S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S27	13748	S23 and S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S28	115	S27 and S25	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S29	13192	S23 same S22	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02

EAST Search History

S30	0	S29 same S24	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:02
S31	0	S29 same S25	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S32	4107	S23.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S33	2474	S22.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S34	80	S25.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S35	333	S24.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:03
S36	1550	S32 and S33	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S37	0	S36 and S34	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S38	0	S35 and S36	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/02/27 15:04
S39	1	wo-200035990-\$.did.	DERWENT	AND	ON	2006/02/27 19:24
S40	1	1999-444329.NRAN.	DERWENT	AND	ON	2006/02/27 18:20